

# PATENT COOPERATION TREATY

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From the INTERNATIONAL SEARCHING AUTHORITY

RECEIVED PCT

07 OCT 2003

NOTIFICATION OF TRANSMITTAL OF  
THE INTERNATIONAL SEARCH REPORT  
OR THE DECLARATION

(PCT Rule 44.1)

<b>To:</b>  <b>Global Intellectual Property</b> <b>AstraZeneca</b> <b>151 85 SÖDERTÄLJE</b>		<table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <th style="width: 33%;">CODE</th> <th style="width: 33%;">DATE</th> <th style="width: 33%;">NTD</th> </tr> <tr> <td style="height: 30px;"></td> <td></td> <td></td> </tr> </table>	CODE	DATE	NTD			
CODE	DATE	NTD						
<b>ANKOM 03 OCT 2003 GIPB</b>		<b>Date of mailing (day/month/year)</b> <span style="font-size: 1.2em;">29-09-2003</span>						
<b>Applicant's or agent's file</b> <b>100729-1 WO</b>		<b>FOR FURTHER ACTION</b> See paragraphs 1 and 4 below						
<b>International application No.</b> <b>PCT/SE03/01079</b>		<b>International filing date (day/month/year)</b> <b>23-06-2003</b>						
<b>Applicant</b> <b>AstraZeneca AB</b> <b>et al</b>								

1. ☒ The applicant is hereby notified that the international search report has been established and is transmitted herewith.  
**Filing of amendments and statement under Article 19:**  
 The applicant is entitled, if he so wishes, to amend the claims of the international application (see Rule 46):  
**When?** The time limit for filing such amendments is normally 2 months from the date of transmittal of the international search report; however, for more details, see the notes on the accompanying sheet.  
**Where?** To the International Bureau of WIPO  
 34, chemin des Colombettes  
 1211 Geneva 20, Switzerland  
 Facsimile No.: (41-22) 740.14.35  
 For more detailed instructions, see notes on the accompanying sheet.
2. ☐ The applicant is hereby notified that no international search report will be established and that the declaration under Article 17(2)(a) to that effect is transmitted herewith.
3. ☐ With regard to the protest against payment of (an) additional fee(s) under Rule 40.2, the applicant is notified that:  
☐ the protest together with the decision thereon has been transmitted to the International Bureau together with the applicant's request to forward the texts of both the protest and the decision thereon to the designated Offices.  
☐ no decision has been made yet on the protest: the applicant will be notified as soon as a decision is made.
4. **Further action(s):** The applicant is reminded of the following:  
 Shortly after 18 months from the priority date, the international application will be published by the International Bureau. If the applicant wishes to avoid or postpone publication, a notice of withdrawal of the international application, or of the priority claim, must reach the International Bureau as provided in Rules 90bis.1 and 90bis.3, respectively, before the completion of the technical preparations for international publication.  
 Within 19 months from the priority date, a demand for international preliminary examination must be filed if the applicant wishes to postpone the entry into the national phase until 30 months from the priority date (in some Offices even later).  
 Within 20 months from the priority date, the applicant must perform the prescribed acts for entry into the national phase before all designated Offices which have not been elected within 19 months from the priority date or could not be elected because they are not bound by Chapter II.

<b>Name and mailing address of the ISA/</b> <b>Patent- och registreringsverket</b> <b>Box 5055</b> <b>S-102 42 STOCKHOLM</b> <b>Facsimile No. 08-667 72 88</b>	<b>Authorized officer</b> <div style="text-align: right; font-weight: bold; font-size: 1.1em;">STAFFAN RENNERMALM</div> <b>Telephone No. 08-782 25 00</b>
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# PATENT COOPERATION TREATY

## PCT

### INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference <b>100729-1 WO</b>	<b>FOR FURTHER ACTION</b> see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. <b>PCT/SE 03/01079</b>	International filing date (day/month/year) <b>23 June 2003</b>	(Earliest) Priority Date (day/month/year) <b>24 June 2002</b>
Applicant <b>AstraZeneca AB et al</b>		

This international search report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This international search report consists of a total of 6 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

**1. Basis of the report**

a. With regard to the language, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

b. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international search was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

2. ☒ Certain claims were found unsearchable (See Box I).

3. ☐ Unity of invention is lacking (See Box II).

4. With regard to the title,

- ☐ the text is approved as submitted by the applicant.
- ☒ the text has been established by this Authority to read as follows:

Novel purine- or pyrrolo[2,3-d]pyrimidine-2-carbonitiles for treating diseases associated with cysteine protease activity.

5. With regard to the abstract,

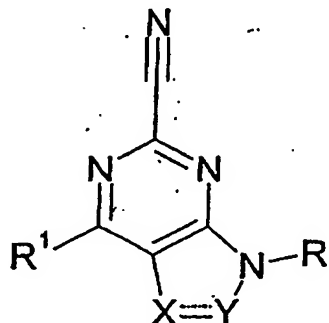
- ☐ the text is approved as submitted by the applicant.
- ☒ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the drawings to be published with the abstract is Figure No.     

- ☐ as suggested by the applicant.
- ☐ because the applicant failed to suggest a figure.
- ☐ because this figure better characterizes the invention.
- ☐ None of the figures.

## Box III TEXT OF THE ABSTRACT (Continuation of item 5 of the first sheet)

The present invention therefore provides a compound of formula (I)



(I) and compositions for treating diseases associated with cysteine protease activity. The compounds are reversible inhibitors of cysteine proteases S, K, F, L and B. Of particular interest are diseases associated with Cathepsin S. In addition this invention also discloses processes for the preparation of such inhibitors.

## INTERNATIONAL SEARCH REPORT

International application No.  
PCT/83/01079**Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)**

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: **11-12**  
because they relate to subject matter not required to be searched by this Authority, namely:  
**see next sheet**
2. ☐ Claims Nos.:  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

**Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)**

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

**Remark on Protest**

- ☐ The additional search fees were accompanied by the applicant's protest.  
☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International Application No.  
PCT/83/01079

Claims 11-12 relate to methods of treatment of the human or animal body by surgery or by therapy or diagnostic methods practiced on the human or animal body (PCT Rule 39.1(iv)). Nevertheless, a search has been executed for these (this) claim(s). The search has been based on the alleged effects of the compounds or compositions.

## INTERNATIONAL SEARCH REPORT

International Application No.

PCT/SE 03/01079

## A. CLASSIFICATION OF SUBJECT MATTER

IPC7: C07D 473/00, C07D 487/04, A61K 31/52, A61K 31/519, A61P 11/00, A61P 19/00,  
A61P 19/10, A61P 25/28, A61P 29/00

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC7: C07D, A61K, A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

SE,DK,FI,NO classes as above

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,A	WO 03020721 A1 (NOVARTIS AG ET AL), 13 March 2003 (13.03.03)	1-13
A	WO 0232879 A1 (NAEJA PHARMACEUTICAL INC.), 25 April 2002 (25.04.02)	1-13
A	WO 0055125 A2 (AXYS PHARMACEUTICALS, INC.), 21 Sept 2000 (21.09.00)	1-13

☒ Further documents are listed in the continuation of Box C.

☒ See patent family annex.

\* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

24 Sept. 2003

Date of mailing of the international search report

29-09-2003

Name and mailing address of the ISA/

Swedish Patent Office

Box 5055, S-102 42 STOCKHOLM

Facsimile No. +46 8 666 02 86

Authorized officer

Eva Johansson/EÖ

Telephone No. +46 8 782 25 00

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/SE 03/01079

## C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>DATABASE WPI  Week 200136  Derwent Publications Ltd., London, GB;  Class B03, AN 2001-337719  &amp; JP 20 01011037 A (KISSEI YAKUHHIN KOGYO KK),  16 January 2001 (2001-01-16)  abstract</p> <p>--</p>	1-13
P,A	<p>US 2002132819 A1 (CHESTER A. METCALF, III ET AL),  19 Sept 2002 (19.09.02)</p> <p>--  -----</p>	1-13

**INTERNATIONAL SEARCH REPORT**  
Information on patent family members

26/07/03

International application No.

PCT/SE 03/01079

Patent document cited in search report			Publication date	Patent family member(s)		Publication date
WO	03020721	A1	13/03/03	GB	0121033 D	00/00/00
WO	0232879	A1	25/04/02	AU	5057001 A	29/04/02
				CA	2426271 A	25/04/02
				EP	1326848 A	16/07/03
WO	0055125	A2	21/09/00	AU	3746100 A	04/10/00
				AU	3748600 A	04/10/00
				BG	106003 A	28/06/02
				BG	106013 A	31/05/02
				BR	0009042 A	26/12/01
				BR	0009043 A	08/01/02
				CA	2368122 A	21/09/00
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				CN	1362947 T	07/08/02
				CN	1364155 T	14/08/02
				CZ	20013217 A	17/04/02
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				EE	200100485 A	17/02/03
				EE	200100487 A	17/02/03
				EP	1161415 A	12/12/01
				EP	1178958 A	13/02/02
				HR	20010737 A	31/10/02
				HR	20010738 A	31/12/02
				HU	0200347 A	29/06/02
				HU	0200503 A	29/06/02
				IL	145429 D	00/00/00
				IL	145430 D	00/00/00
				JP	2002539191 T	19/11/02
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				NO	20014484 A	26/10/01
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				PL	350453 A	16/12/02
				PL	350456 A	16/12/02
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				SK	12892001 A	04/06/02
				TR	200103337 T	00/00/00
				TR	200103390 T	00/00/00
				TR	200201874 T	00/00/00
				US	6455502 B	24/09/02
				US	6476026 B	05/11/02
				US	6593327 B	15/07/03
				US	2002086996 A	04/07/02
				US	2003096796 A	22/05/03
				US	2003119788 A	26/06/03
				WO	0055126 A	21/09/00



**INTERNATIONAL SEARCH REPORT**  
Information on patent family members

26/07/03

International application No.

PCT/SE 03/01079

Patent document cited in search report			Publication date	Patent family member(s)	Publication date
US	2002132819	A1	19/09/02	AU 2439701 A	25/06/01
				CA 2394650 A	21/06/01
				CA 2394654 A	21/06/01
				EP 1246829 A	09/10/02
				US 2002068721 A	06/06/02
				WO 0144258 A	21/06/01
				AU 2277201 A	25/06/01
				AU 2441701 A	25/06/01
				AU 2583901 A	25/06/01
				CA 2394573 A	21/06/01
				CA 2394646 A	21/06/01
				EP 1244679 A	02/10/02
				EP 1248790 A	16/10/02
				EP 1259520 A	27/11/02
				JP 2003516998 T	20/05/03
				US 6420384 B	16/07/02
				US 2002010159 A	24/01/02
				US 2002103161 A	01/08/02
				WO 0144257 A	21/06/01
				WO 0144259 A	21/06/01
				WO 0144260 A	21/06/01

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